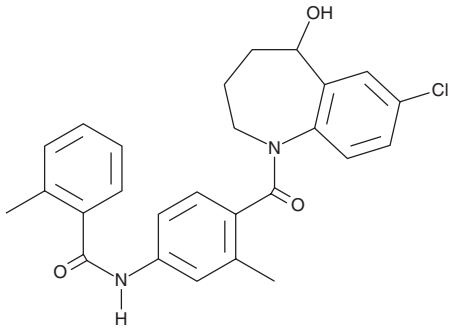
PRODUCT INFORMATION

Tolvaptan

Item No. 19691

CAS Registry No.:	150683-30-0
Formal Name:	N-[4-[(7-chloro-2,3,4,5-tetrahydro-5-hydroxy-1H-1-benzazepin-1-yl)carbonyl]-3-methylphenyl]-2-methyl-benzamide
Synonym:	OPC 41061
MF:	$C_{26}H_{25}ClN_2O_3$
FW:	448.9
Purity:	≥98%
UV/Vis.:	λ_{max}: 269 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Tolvaptan is supplied as a crystalline solid. A stock solution may be made by dissolving the tolvaptan in the solvent of choice, which should be purged with an inert gas. Tolvaptan is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of tolvaptan in ethanol is approximately 12 mg/ml and approximately 30 mg/ml in DMSO and DMF.

Tolvaptan is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, tolvaptan should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Tolvaptan has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Tolvaptan is a nonpeptide vasopressin V_2 receptor antagonist (IC_{50} = 3 nM for rat receptor) and a diuretic agent.[1] It is selective for V_2 over V_1 receptors (IC_{50} = 0.58 µM). Tolvaptan increases urine volume by 3-fold in rats when administered at a dose of 0.54 mg/kg. It also reduces left ventricular end-systolic volumes and improves left ventricular ejection fraction in a rat model of myocardial infarction.{43556} Formulations containing tolvaptan have been used to treat hyponatremia.

Reference

1. Kondo, K., Ogawa, H., Yamashita, H., *et al.* 7-Chloro-5-hydroxy-1-[2-methyl-4-(2-methylbenzoyl-amino) benzoyl]-2,3,4,5-tetrahydro-1H-1-benzazepine (OPC-41061): A potent, orally active nonpeptide arginine vasopressin V_2 receptor antagonist. *Bioorg. Med. Chem.* **7(8)**, 1743-1754 (1999).

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